The reaction was quenched with aqueous ammonium chloride solution. The reaction solution was extracted with ethyl acetate and the organic layer was dried ($MgSO_4$), filtered and concentrated. The residue was subjected to column chromatography (Moving phase: ethyl acetate/n-hexane=1/3, v/v) to give 0.7g of the pure title compound.

^1H NMR(200MHz, $CDCl_3$) : δ 8.60(d, 1H, J=5Hz), 7.46(d, 1H, J=5Hz), 7.05~7.15(m, 1H), 5.45(br s, 1H), 4.9~5.3(m, 1H), 2.1(s, 3H), 1.44(dd, 3H, J_1 =25Hz, J_2=6Hz), 1.31(s, 9H)

Example 5: Synthesis of erythro-N-t-butyl-4-bromo-2-(2-fluoro-1-hydroxy-n-propyl)pyridine-3-sulfonamide

Erythro-N-t-butyl-2-(2-fluoro-1-hydroxy-n-propyl)pyridine-3-sulfonamide(7.0g) was dissolved in THF(200mℓ) which had been well purified and then 2.5N n-BuLi (13.4mℓ) was slowly added thereto under nitrogen gas at -78℃. The reaction temperature was raised to -20℃ and cooled down to -78℃ again. NBS(N-bromosuccinimide)(6.4g) was added to the reaction solution and the resulting mixture was stirred for 30minutes. The reaction was quenched with saturated aqueous ammonium chloride solution. Ethyl acetate was added to the reaction solution to separate the organic layer. The aqueous layer was extracted once more with ethyl acetate, and then the organic layers were combined, dried ($MgSO_4$), filtered and concentrated to give a crude product. This crude product was subjected to column chromatography (Moving phase: ethyl acetate/n-hexane=1/2, v/v) to give 3.9g of the pure title compound.

^1H NMR(200MHz, $CDCl_3$) : δ 8.48(d, 1H, J=5Hz), 7.74(d, 1H, J=5Hz), 6.5(br s, 1H), 5.39(br s, 1H), 4.6~4.95(m, 2H), 1.32(dd, 3H, J_1=25Hz, J_2=6Hz), 1.25(s, 9H)

Example 6: Synthesis of erythro-4-bromo-2-(2-fluoro-1-hydroxy-n-propyl) pyridine-3-sulfonamide

Erythro-N-t-butyl-4-bromo-2-(2-fluoro-1-hydroxy-n-propyl)pyridine-3-sulfonamide(0.5g) was dissolved in trifluoroacetic acid(CF_3CO_2H; 10㎖) and the resulting solution was stirred for 2 hours at 60~65℃. The reaction solution was concentrated under reduced pressure, and then the filtrate was diluted with methylene chloride and concentrated. The residue was subjected to column chromatography (Moving phase: ethyl acetate/methylene chloride=1/7→ 1/1, v/v) to give 0.3g of the pure title compound.

^{1}H NMR(200MHz, $CDCl_3$) : δ 8.49(d, 1H, J=5Hz), 7.75(d, 1H, J=5Hz), 6.0~6.06(m, 1H), 5.45(br s, 2H), 4.15~4.55(m, 1H), 3.46(br s, 1H), 1.53(dd, 3H, J_1 =25Hz, J_2=6Hz)

Example 7: Synthesis of erythro-N-[(4,6-dimethoxypyrimidin-2-yl)amino carbonyl]-4-chloro-2-(2-fluoro-1-methoxyacetoxy-n-propyl)pyridine-3-sulfonamide

Erythro-4-chloro-2-(2-fluoro-1-methoxyacetoxy-n-propyl)pyridine-3-sulfonamide (0.5g) was dissolved in acetonitrile(10㎖) and phenyl (4,6-dimethoxypyrimidin-2-yl)carbamate(0.86g) was added thereto at room temperature. DBU(0.48g) was slowly added and the reaction solution was stirred for 30minutes, diluted with methylene chloride(100㎖) and washed with 5% aqueous hydrochloric acid solution(50㎖). The organic layer was dried ($MgSO_4$), filtered and concentrated. The residue was recrystallized from diethylether/n-hexane to give 0.61g of the pure title compound as a white solid.

m.p. : 135~140℃

^{1}H NMR(200MHz, $CDCl_3$) : δ 13.2(br s, 1H), 8.63(d, 1H, J=5Hz), 7.45(d, 1H, J=5Hz), 7.2~7.4(m, 2H), 5.81(s, 1H), 4.82~5.22(m, 1H), 3.97(s, 6H), 1.44(dd, 3H, J_1=25Hz, J_2=6Hz)

Example 8: Synthesis of erythro-N-[(4,6-dimethoxypyrimidin-2-yl)amino carbonyl]-4-bromo-2-(2-fluoro-1-methoxyacetoxy-n-propyl)pyridine-3-sulfonamide

Erythro-4-bromo-2-(2-fluoro-1-methoxyacetoxy-n-propyl)pyridine-3-sulfonamide (0.82g) and phenyl (4,6-dimethoxypyrimidin-2-yl)carbamate(0.86g) were reacted according to the same procedure as Example 7 to give 0.85g of the title compound as a white solid.

m.p. : 87-89℃

^{1}H NMR(200MHz, $CDCl_3$) δ 8.49(d, 1H, J=5Hz), 7.65(d, 1H, J=5Hz), 7.23(s, 1H), 7.02-7.1(m, 1H), 5.80(s, 1H), 5.22-5.58(m, 1H), 4.13(s, 2H), 3.96(s, 6H), 3.41(s, 3H), 1.48(dd, 3H, J_1=25Hz, J_2=6Hz)

Example 9: Synthesis of erythro-N-[(4,6-dimethoxypyrimidin-2-yl)amino carbonyl]-4-methyl-2-(2-fluoro-1-methoxyacetoxy-n-propyl)pyridine-3-sulfonamide

Erythro-4-methyl-2-(2-fluoro-1-methoxyacetoxy-n-propyl)pyridine-3-sulfonamide (0.73g) and phenyl (4,6-dimethoxypyrimidin-2-yl)carbamate(0.86g) were reacted according to the same procedure as Example 7 to give 0.75g of the title compound as a white solid.

m.p. : 156-158℃

^{1}H NMR(200MHz, $CDCl_3$) δ 8.58(d, 1H, J=5Hz), 7.23(d, 1H, J=5Hz), 7.21 (br s, 1H), 6.65-6.75(m, 1H), 5.78(s, 1H), 5.05-5.38(m, 1H), 4.13(s, 2H), 3.97(s, 6H), 3.41(s, 3H), 2.89(s, 3H), 1.47(dd, 3H, J_1=25Hz, J_2=6Hz)

Example 10: Synthesis of erythro-2-(2-fluoro-1-methoxyacetoxy-n-propyl) pyridine-3-sulfonamide and threo-2-(2-fluoro-1-methoxyacetoxy-n-propyl)pyridine-3-sulfonamide

1:1 mixture of erythro and threo isomers of N-t-butyl-2-(2-fluoro-1-methoxyacetoxy-n-propyl)pyridine-3-sulfonamide(5.0g) was dissolved in trifluoroacetic

acid(20mℓ). The reaction solution was stirred for 12 hours at 45℃ and concentrated under reduced pressure. The residue was dissolved in methylene chloride, which was then washed with aqueous sodium bicarbonate solution. The organic layer was dried over anhydrous magnesium sulfate and the residue was subjected to column chromatography (Moving phase: ethyl acetate/methylene chloride=1/7→ 1/1, v/v) to give 1.0g of the title compound in the pure erythro form and 1.0g of the title compound in the pure threo form, respectively, as a solid.

Erythro compound.

^{1}H NMR(200MHz, $CDCl_3$) : δ 8.82-8.85(m, 1H), 8.35-8.38(m, 1H), 7.43-7.50 (m, 1H), 6.60-6.72(m, 1H), 5.68(brs, 2H), 4.93-5.29(m, 1H), 4.18(s, 2H), 3.2(s, 3H), 1.55(dd, 3H, $J_{H\text{-}H}$=6.5Hz, $J_{H\text{-}F}$=25Hz),

Threo compound

^{1}H NMR(270MHz, $CDCl_3$) : δ 8.82-8.85(m, 1H), 8.35-8.38(m, 1H), 7.43-7.50 (m, 1H), 6.60-6.72(m, 1H), 5.58(brs, 2H), 5.29-5.40(m, 1H), 4.18(s, 2H), 3.43(s, 3H), 1.20(dd, 3H, $J_{H\text{-}H}$=6.5Hz, $J_{H\text{-}F}$=25Hz)

Example 11: Synthesis of erythro-2-(2-fluoro-1-hydroxyacetoxy-n-propyl) pyridine-3-sulfonamide

Erythro-2-(2-fluoro-1-methoxyacetoxy-n-propyl)pyridine-3-sulfonamide(0.5g) was dissolved in chloroform(10mℓ), iodotrimethylsilane(0.9mℓ) was added thereto, and the resulting mixture was stirred for 12 hours at 60℃. The reaction solution was concentrated and the residue was subjected to C18 silica(50mℓ) column chromatography (Moving phase: CH_3CN/H_2O=10/90, v/v) to give 0.22g of the title compound.

m.p. : 142-143℃

^{1}H NMR(200MHz, D_2O) : δ 8.82-8.85(m, 1H), 8.35-8.38(m, 1H), 7.43- 7.50(m, 1H), 5.0-5.4(m, 1H), 4.4(d, 2H), 1.55(dd, 3H)

Example 12: Synthesis of erythro-2-(2-fluoro-1-(3-methoxypropion)oxy-n-propyl)pyridine-3-sulfonamide

Erythro-N-t-butyl-2-(2-fluoro-1-(3-methoxypropion)oxy-n-propyl)pyridine-3-sulfonamide(5.0g) was reacted according to the same procedure as Example 10 to give 2.0g of the title compound.

^{1}H NMR(200MHz, $CDCl_3$) : δ 8.82-8.85(m, 1H), 8.35-8.38(m, 1H), 7.43-7.50 (m, 1H), 6.60-6.72(m, 1H), 5.75(brs, 2H), 4.93-5.29(m, 1H), 3.62(t, 2H), 3.3(s, 3H), 2.7(m, 2H), 1.55(dd, 3H)

Example 13: Synthesis of erythro-2-(2-fluoro-1-(3-hydroxypropion)oxy-n-propyl)pyridine-3-sulfonamide

Erythro-2-(2-fluoro-1-(3-methoxypropion)oxy-n-propyl)pyridine-3-sulfonamide (0.56g) was reacted according to the same procedure as Example 11 to give 0.12g of the title compound.

^{1}H NMR(200MHz, D_2O) : δ 8.8(m, 1H), 8.4(m, 1H), 7.45(m, 1H), 6.9(brs, 2H), 6.75(m, 1H), 5.0-5.3(m, 1H), 3.8(m, 2H), 2.6(t, 2H), 1.55(dd, 3H)

Example 14: Synthesis of erythro-N-[(4,6-dimethoxypyrimidin-2-yl)amino carbonyl]-2-(2-fluoro-1-methoxyacetoxy-n-propyl)pyridine-3-sulfonamide

Erythro-2-(2-fluoro-1-methoxyacetoxy-n-propyl)pyridine-3-sulfonamide(3.9g) was dissolved in acetonitrile(20mℓ), phenyl (4,6-dimethoxypyrimidin-2-yl)carbamate (3.57g) was added, and then triethylamine(1.32g) was slowly added thereto. The reaction solution was stirred for 2 hours, diluted with methylene chloride(20mℓ) and then washed with 5% aqueous hydrochloric acid solution(10mℓ) and water(10mℓ). The organic layer

was dried over magnesium sulfate, filtered and concentrated. The residue was recrystallized from ethyl acetate/hexane/diethylether to give 4.5g of the title compound.

m.p. : 175-177℃

^{1}H NMR(200MHz, $CDCl_3$) : δ 13.2(br, 1H), 8.8(m, 1H), 8.6(m, 1H), 7.5(m, 1H), 7.2(br, 1H), 6.6(m, 1H), 5.80(s, 1H), 5.0-5.3(m, 1H), 4.05(s, 2H), 3.96(s, 6H), 3.25(s, 3H), 1.45(dd, 3H)

Example 15: Synthesis of threo-N-[(4,6-dimethoxypyrimidin-2-yl)amino carbonyl]-2-(2-fluoro-1-methoxyacetoxy-n-propyl)pyridine-3-sulfonamide

Threo-2-(2-fluoro-1-methoxyacetoxy-n-propyl)pyridine-3-sulfonamide (1.56g) and phenyl (4,6-dimethoxypyrimidin-2-yl)carbamate(2.99g) were reacted according to the same procedure as Example 14 to give 1.8g of the title compound as a white solid.

m.p. : 152-154℃

^{1}H NMR(200MHz, $CDCl_3$) : δ 13.2(br, 1H), 8.81(m, 1H), 8.67(m, 1H), 7.50(m, 1H), 7.49(br, 1H), 6.67(m, 1H), 5.80(s, 1H), 5.0-5.3(m, 1H), 4.05 (s, 2H), 3.96(s, 6H), 3.25(s, 3H), 1.28(dd, 3H)

Example 16: Synthesis of erythro-N-[(4,6-dimethoxypyrimidin-2-yl)amino carbonyl]-2-(2-fluoro-1-hydroxyacetoxy-n-propyl)pyridine-3-sulfonamide

Erythro-2-(2-fluoro-1-hydroxyacetoxy-n-propyl)pyridine-3-sulfonamide(1.2g) and phenyl (4,6-dimethoxypyrimidin-2-yl)carbamate(1.33g) were reacted according to the same procedure as Example 14 to give 1.5g of the title compound as a white solid.

m.p. : 157-158℃

^{1}H NMR(200MHz, $CDCl_3$) : δ 8.8(m,1H), 8.05(m, 1H), 7.5(m, 1H), 6.7-6.8(m, 1H), 5.80(s, 1H), 5.0-5.3 (m, 1H), 4.2(m, 2H), 3.95(s, 6H), 1.45(dd, 3H)

Example 17: Synthesis of erythro-N-[(4,6-dimethoxypyrimidin-2-yl)amino carbonyl]-2-(2-fluoro-1-(3-hydroxypropion)oxy-n-propyl)pyridine-3-sulfonamide

Erythro-2-(2-fluoro-1-(3-hydroxypropion)oxy-n-propyl)pyridine-3-sulfonamide (0.11g) and phenyl (4,6-dimethoxypyrimidin-2-yl)carbamate(0.18g) were reacted according to the same procedure as Example 14 to give 0.13g of the title compound.

m.p. : 147-148℃

^{1}H NMR(200MHz, $CDCl_3$) : δ 13.3(br, 1H), 8.8(m, 1H), 8.65(m, 1H), 7.6(m, 1H), 7.3(br, 1H), 5.80(s, 1H), 5.0-5.3(m, 1H), 3.96(s, 6H), 3.6-3.9 (m, 2H), 3.4(br, 1H), 2.6(m, 2H), 1.45(dd, 3H)

Example 18: Synthesis of erythro-N-[(4,6-dimethoxypyrimidin-2-yl)amino carbonyl]-2-(2-fluoro-1-(3-methoxypropion)oxy-n-propyl)pyridine-3-sulfonamide

Erythro-2-(2-fluoro-1-(3-methoxypropion)oxy-n-propyl)pyridine-3-sulfonamide (0.29g) and phenyl (4,6-dimethoxypyrimidin-2-yl)carbamate(0.53g) were reacted according to the same procedure as Example 14 to give 0.35g of the title compound.

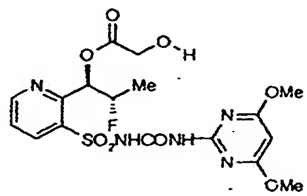
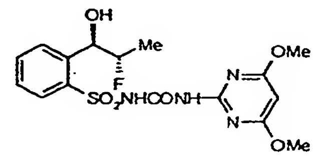
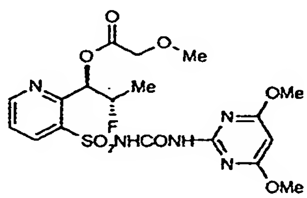
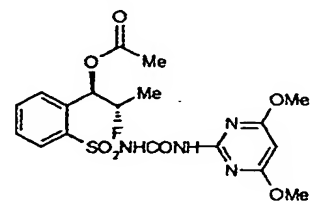
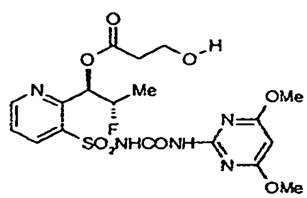
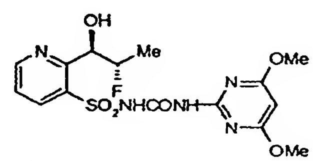
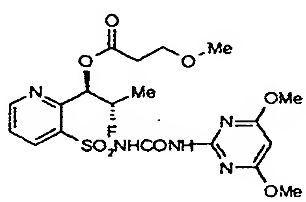
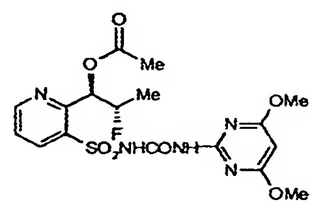
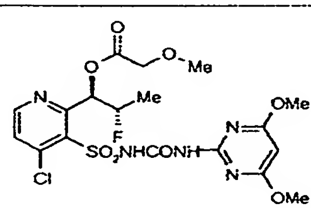
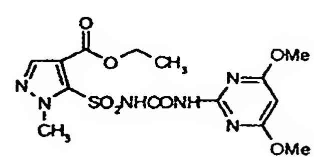
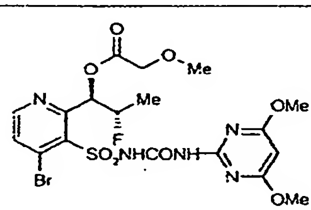
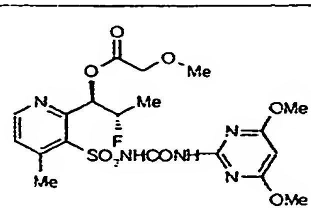
m.p. : 145-146℃

^{1}H NMR(200MHz, $CDCl_3$) : δ 8.8(m, 1H), 8.6(m, 1H), 7.5 (m, 1H), 7.2(br, 1H), 6.6(m, 1H), 5.80(s, 1H), 4.95-5.25(m, 1H), 3.95(s, 6H), 3.45(t, 2H), 3.2(s, 3H), 2.5(m, 2H), 1.5(dd, 3H)

Example 19

Herbicidal activities of the compounds according to the present invention and the known standard compounds as represented in the following Table 2 were estimated in a greenhouse.

[Table 2]

Compound of the present invention	Structure	Standard Compound	Structure
1		A	
2		B	
3		C	
4		D	
5		E	
6			
7			

Test for herbicidal activity and phytotoxicity in paddy field

Pots having a surface area of 150㎠ were filled with a small amount of fertilizer and sterilized paddy field soil in a muddy state with a depth of 5㎝. Five (5) seeds of pre-germinated rice were directly sown on the soil surface and three (3) rice seedlings (2~3 leaves) prepared in advance were transplanted in a depth of 2㎝ in each pot. In another pot, seeds of barnyardgrass were sown and incorporated into the surface layer of soil. After sowing and transplanting of rice plant and sowing of barnyardgrass, the pots were flooded with water 3㎝ deep and kept in a greenhouse. The rice plant was treated with the chemicals 5 days after sowing or transplanting, and barnyardgrass was treated with the chemicals at the pre-emergence (5 days after from sowing) and post-emergence stage (at the three-leaf stage, usually after 15 days from sowing).

Suitable herbicidal compositions were prepared by mixing and dissolving 1 part by weight of the active compound with 5 parts by weight of acetone and 1 part by weight of alkylaryl polyglycolether as an emulsifier and then diluting with water to the predetermined concentration. Application was made by dropping the herbicide solutions onto the water surface of the pots.

The test plants were observed for two weeks after the treatment with the chemicals and then herbicidal activity and phytotoxicity of the test compounds were visually rated in a percent (%) scale, where 0 means no activity or phytotoxicity and 100 means complete death.

The herbicidal activity and phytotoxicity in paddy field of the compound of formula (1) and the known standard compounds are given in the following Tables 3a and 3b, respectively.

Among the compounds, the standard compound E is Pyrazosulfuron-ethyl, which is the most widely used herbicide in rice at the present time. The standard compounds A,

B, C and D have similar structure to the compound of formula (1) of the present invention, and were filed already.

[Table 3a]

Herbicidal activity and phytotoxicity of the standard compounds in a paddy condition.

Standard Compound	*Oryza sativa*			*Echinochloa crus-galli*		
	Rate (g/ha)	Seed	Trans-planted	Rate (g/ha)	Pre-emergence	Post-emergence (3-Leaf stage)
A	80	80	70	30	100	100
	40	50	40	20	100	95
	20	40	30	10	100	90
	10	40	20	5	60	60
B	80	70	60	30	100	100
	40	50	40	20	100	90
	20	40	20	10	100	90
	10	30	20	5	50	50
C	80	70	50	30	100	100
	40	30	30	20	100	100
	20	20	20	10	100	90
	10	10	10	5	40	60
D	80	60	50	30	100	100
	40	30	20	20	100	100
	20	20	10	10	100	90
	10	10	0	5	30	50
E (Pyrazosulfuron-ethyl)	80	30	10	30	30	20
	40	20	0	20	20	0
	20	10	0	10	10	0
	10	0	0	5	0	0

As shown in Table 3a, the standard compound E, at 80 g/ha which is the four-times higher rate than the conventional application rate (20 g/ha), shows little phytotoxicity to rice; 10 or 30% to the transplanted or direct-seeded rice, respectively. Therefore, the compound E is considered to be highly safe to rice. However, it shows weak herbicidal activity to barnyardgrass (10% at 20g/ha), which is the most important weed in rice.

On the contrary, the standard compounds A to D show excellent activity to barnyardgrass, i.e., 95% or greater activity at 20g/ha by pre- or post-emergence treatments. These compounds (A~D) also show rice safety at 20 g/ha; 10 to 40% of phytotoxicity

depending on the compounds. However, for commercial development, a compound should be safe at four-times higher rates than the recommended rate. The compounds A~D show 50~80% of phytotoxicity depending on the compounds at 80g/ha, which is four times as much as the typical dose, and thus, are considered to be impossible to develop commercially.

[Table 3b]

Herbicidal activity and phytotoxicity of the compounds of the present invention in a paddy condition.

Compound	*Oryza sativa*			*Echinochloa crus-galli*		
	Rate (g/ha)	Seed	Trans-planted	Rate (g/ha)	Pre-emergence	Post-emergence (3-Leaf stage)
Com. 1	80	30	20	30	90	100
	40	30	10	20	90	90
	20	10	10	10	80	80
	10	0	0	5	50	60
Com. 2	80	30	10	30	100	100
	40	20	10	20	100	100
	20	0	0	10	100	90
	10	0	0	5	60	50
Com. 3	80	30	20	30	100	100
	40	30	20	20	95	90
	20	10	10	10	90	80
	10	0	5	5	60	60
Com. 4	80	30	20	30	100	100
	40	20	10	20	100	100
	20	0	0	10	95	90
	10	0	0	5	60	60
Com. 5	80	30	20	30	100	100
	40	20	0	20	90	90
	20	10	0	10	80	70
	10	0	0	5	50	60
Com. 6	80	20	20	30	100	100
	40	10	0	20	100	90
	20	0	0	10	80	70
	10	0	0	5	60	50
Com. 7	80	30	20	30	100	100
	40	20	10	20	90	95
	20	0	0	10	80	80
	10	0	0	5	60	50

The compounds of the present invention have excellent herbicidal activity to barnyardgrass as well as improved rice selectivity. As shown in Table 3b, the compounds of the present invention have excellent herbicidal activity against barnyardgrass; 90% or greater depending on the compounds at 20g/ha. Further, they show acceptable rice safety at 80g/ha (30% or less), which is comparable to the standard compound E.

Weed spectrum in paddy field

Pots having a surface area of 500㎠ were filled with the soil in a muddy state as mentioned above. Seeds of annual weeds such as *Monochoria vaginaiis* (MOOVA), *Lindernia procumbens* (LIDPR), *Rotala indica* (ROTIN), *Scirpus juncoides* (SCPJU), etc. were sown on the surface layer of soil, and then were planted tubers of perennial weeds such as *Cyperus serotinus* (CYPSE) and *Sagittaria pygmaea* (SAGPY) in a depth of 1㎝, and *Eleocharis kuroguwai* (ELOKU) and *Sagittaria trifolia* (SAGTR) in a depth of 4㎝. After 5 days, the chemicals were formulated as mentioned above and applied by dropping to the water surface of the pots. The test plants were observed for two weeks after the treatment and the results are given in the following Table 4.

[Table 4]

Weed spectrum of the compounds of the present invention in a paddy condition.

Compound	Rate (g/ha)	Annual weeds				Perennial weeds			
		MOOVA	LIDPR	ROTIN	SCPJU	CYPSE	SAGPY	ELOKU	SAGTR
Com. 2	20	100	100	100	100	100	95	95	90
Com. 4	20	100	100	100	100	100	90	95	85

From the results of Table 4, the compounds of the present invention show high activities on various annual and perennial weeds in addition to barnyardgrass.

Consequently, the compounds of the present invention, novel herbicidal molecules in paddy conditions, effectively control the annual and perennial weeds including barnyardgrass by pre- and post-emergence treatment and provide a high level of safety to transplanted and direct-seeded rice. Therefore, they are expected to be used for such

purposes.